



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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<b>(21) International Application Number:</b> PCT/EP94/01225 <b>(22) International Filing Date:</b> 19 April 1994 (19.04.94) <b>(30) Priority Data:</b> 93303383.9 30 April 1993 (30.04.93) EP <i>(34) Countries for which the regional or international application was filed:</i> GB et al. <b>(71) Applicant (for AU BB CA GB IE LK MN MW NZ SD TT only):</b> UNILEVER PLC [GB/GB]; Unilever House, Blackfriars, London EC4P 4BQ (GB). <b>(71) Applicant (for all designated States except AU BB CA GB IE LK MN MW NZ SD TT):</b> UNILEVER NV [NL/NL]; Weena 455, NL-3013 AL Rotterdam (NL). <b>(72) Inventors:</b> LENG, John, Francis; 25 Long Meadow, Gayton, Wirral, Merseyside L60 8QQ (GB). PARROT, David, Terence; Flat 7,8 Caroline Place, Oxton, Birkenhead, Wirral, Merseyside L43 1CR (GB). HEWITT-JONES, Jacqueline, Diane; 6a Barsbank Lane, Lymm, Cheshire, WA13 0ES (GB). <b>(74) Agent:</b> BAKER, Colin, John; Unilever plc, Patent Division, Colworth House, Sharnbrook, Bedford MK44 1LQ (GB).		<b>(81) Designated States:</b> AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report.</i>
<b>(54) Title:</b> ANTIPERSPIRANT COMPOSITIONS  <b>(57) Abstract</b>  <p>An antiperspirant composition suitable for topical application to human skin comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a cosmetic vehicle comprising volatile silicone and containing low levels of a short chain monohydric alcohol.</p>		

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ANTIPERSPIRANT COMPOSITIONS

The invention relates to antiperspirant compositions suitable for topical application to the human skin, in any product form. These can be, for example, as liquid compositions suitable for use in a roll-on dispenser, solid compositions for use as a cosmetic stick together with a stick holder, a liquid composition suitable for dispensing from a propellant-driven aerosol container or a pump spray, or a cream suitable for dispensing from a suitable container.

The antiperspirant market and the technical and patent literature in the field of antiperspirants are dominated by products based on metal salts, for example aluminium or zirconium salts such as aluminium chloride, aluminium chlorohydrate, zirconium hydroxychloride, to name but a few, which are intended to reduce or prevent perspiration at the skin surface, particularly on the underarm.

However, doubts as to the safety in use of aluminium salts have stimulated research for alternative antiperspirant actives. We have surprisingly found that a class of compounds, hitherto unconsidered for their effect as antiperspirant actives, in fact exhibit a remarkably good performance as antiperspirant actives, are compatible with known antiperspirant type formulations, and may be included into compositions which have remarkably good sensory properties. Such a class of materials is described in our co-pending application EP 92310294.1 (Unilever NV et al.), the content of which is incorporated herein by reference.

A possible problem in using such compositions as described therein may be experienced by certain users, in that it has been found that occasionally after prolonged application of some of the products described therein a few users

experienced a reddening of the skin in the axilla, known as erythema. It is amongst the objects of this invention to provide compositions which do not have this disadvantage of such previous compositions.

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Accordingly, in a first aspect the present invention provides an antiperspirant composition suitable for topical application to the human skin, comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a cosmetic vehicle comprising a volatile silicone and containing less than 10 % by weight of a short chain monohydric alkanol.

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In fact, such an antiperspirant composition is highly preferred when the product form of the composition is a lotion for dispensing from a roll-on container, a solid for use as a stick, or a cream, but may also be preferred when the composition is a liquid composition suitable for dispensing from a propellant driven aerosol or a pump spray.

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However, and according to a further aspect of the invention, we have found that slightly different criteria may apply if the antiperspirant composition is to be a sprayable solution, such as a pump spray formulation or a propellant driven aerosol composition. In such circumstances, the sprayable solution (which preferably contains no suspended particles) may comprise up to 25% of a short chain monohydric alcohol, if for a propellant driven aerosol antiperspirant composition the composition additionally comprises a propellant gas, and if for a pump spray composition the amphiphilic antiperspirant active in the composition is fully solubilised (i.e. the pump spray

antiperspirant composition contains no suspended amphiphilic material antiperspirant active). Such solution compositions may comprise a higher initial amount of short chain monohydric alcohol without causing irritation problems, since in the action of spraying of the composition a high proportion (typically as high as 70 %) of the alcohol may be lost, and not hit the target.

Thus according to a further aspect of the invention, there is provided a solution antiperspirant composition suitable for topical application to the human skin by spraying it onto the skin as a propellant driven aerosol, comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a liquid solution cosmetic vehicle comprising volatile silicone, a propellant gas, and containing less than 25% by weight of a short chain monohydric alkanol.

It is highly preferred embodiment of such propellant driven antiperspirant aerosol compositions that the amphiphilic antiperspirant active material in the composition is fully solubilized.

According to another aspect of the invention, there is provided a solution antiperspirant suitable for topical application to the human skin by spraying it onto the skin from a pump spray, comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a liquid solution cosmetic vehicle comprising a volatile silicone,

the amphiphilic antiperspirant active material being fully solubilized in the vehicle, the vehicle containing less than 25% by weight of a short chain monohydric alcohol.

5 Indeed, such compositions are novel over and above composition 15 described in EP 92310294.1 described above, as this composition is in the form of a suspension of lipid in the ethanol and silicone vehicle, suspended by Bentone 38. Such a suspension would also not be readily sprayable.

10 The short chain monohydric alkanols referred to above are typically the short chain C<sub>1</sub>-C<sub>6</sub> alkanols, including ethanol and isopropanol. Preferably, compositions according to the invention comprise less than 25 % by weight of a short chain  
15 monohydric alkanol in certain embodiments of the invention described above, and in all embodiments preferably less than 10 % of the monohydric alcohol, more preferably less than 5% by weight of a short chain monohydric alkanol, and most preferably is substantially free (ie. it contains less than  
20 0.5% by weight) of short chain monohydric alkanols.

It is to be understood in the context of the invention that "amphiphilic material" may include a mixture of materials, at least one of which is amphiphilic.

25 In a further aspect the invention provides a method of preventing or reducing perspiration at the human skin surface, comprising applying thereto an antiperspirant composition comprising an antiperspirant active in a  
30 cosmetic vehicle comprising volatile silicone and containing less than 10 % by weight of the composition of short chain monohydric alkanols, the active comprising at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-  
35 insoluble liquid crystal phase of greater than one-

dimensional periodicity.

In yet a further aspect of the invention, there is provided a method of preventing or reducing perspiration at the human skin surface, comprising applying thereto by spraying as a propellant driven aerosol a solution antiperspirant composition comprising an antiperspirant active in a liquid solution cosmetic vehicle comprising volatile silicone and containing less than 25 % by weight of the composition of short chain monohydric alkanol and a propellant gas, the active comprising at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity.

In yet a further aspect of the invention, there is provided a method of preventing or reducing perspiration at the human skin surface, comprising applying thereto by spraying from a pump spray a solution antiperspirant composition comprising an antiperspirant active in a liquid solution cosmetic vehicle comprising volatile silicone and containing less than 25% by weight of the composition of short chain monohydric alcohol and a propellant gas, the active comprising at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one dimensional periodicity, the amphiphilic antiperspirant active material being fully solubilized in the vehicle.

According to preferred embodiments of the above aspects of the invention, the antiperspirant composition is free or substantially free of antiperspirant levels of metal salts, especially those metal salts used in the prior art as antiperspirant or deodorant actives.

In yet a further aspect the invention provides the novel use as an antiperspirant active of an amphiphilic material in a cosmetic vehicle comprising volatile silicone and containing less than 10 % by weight of the composition of a short chain monohydric alkanol, which active forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, for the purpose of reducing irritation the amphiphilic material may cause to skin.

In a further aspect there is provided the use in a propellant driven aerosol as a solution antiperspirant active of an amphiphilic material in a liquid solution sprayable cosmetic vehicle comprising a propellant gas, volatile silicone and containing less than 25 % by weight of the composition of a short chain monohydric alkanol, which active forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, for the purpose of reducing irritation the amphiphilic material may cause to skin.

In yet a further embodiment there is provided the use in a pump spray as a solution antiperspirant active of an amphiphilic material in a liquid solution sprayable cosmetic vehicle comprising volatile silicone and containing less than 25% by weight of the composition of a short chain monohydric alcohol, which active in fully solubilized in the cosmetic vehicle and forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, for the purpose of reducing irritation the amphiphilic material may cause to skin.

In a preferred embodiment of the invention, compositions according to the invention may comprise a relatively high level of volatile silicones; this level may be from 50-90%

by weight, more preferably 60-80% by weight. Volatile silicones for use according to the invention are typically cyclomethicones and cyclic dimethyl siloxanes, and include Dow Corning fluids 249, 245, 344, 345, 1463, and 200 (0.65mm<sup>2</sup>s<sup>-1</sup>), and similar analogues. These fluids all have an appreciable vapour pressure at ambient temperature.

The present invention, in particular the various aspects and preferred embodiments thereof, will now be described in detail further below and in the Examples which follow with reference to the accompanying drawings, in which:

Figure 1 is a three component phase diagram illustrating various physical phases of glycerol monooleate/oleic acid/water mixtures which may be used for predicting embodiments of the present invention;

Figure 2 is another three component phase diagram, similar to that of Figure 1, but showing the various phases of mixtures of glycerol monolaurate/oleic acid/water;

Water and certain organic substances can interact to form different structures of liquid crystal. An example of this teaching is to be found in "Biological Membranes" by D. Chapman, Academic Press New York, 1968, Chapter 3, the content of which is incorporated herein by reference. Amongst the more defined liquid crystal structures that can be formed are cubic liquid crystal structures, which have a long-range periodicity in three dimensions, and hexagonal structures, which have a long-range periodicity in two dimensions.

It has surprisingly been found that certain amphiphilic substances (an amphiphilic substance by definition having both hydrophilic and hydrophobic portions in its structure),

or mixtures of amphiphilic substances, when used as antiperspirant actives, have an appropriate relative insolubility in water, but also pass through physical phases on the addition of water in which they form, in their final state, liquid crystal structures of greater than one dimensional periodicity, such as those mentioned above. As such, these materials form good antiperspirant actives.

Preferably, the antiperspirant actives in the compositions according to the invention have a solubility in water (or sweat) of less than about 0.1% by weight (at 35°C), more preferably less than about 0.05% by weight.

In addition, at certain concentrations of solution with water, these amphiphilic materials may pass through physical phases of one dimensional periodicity or less, such as a lamellar phase, or a simple liquid phase, in which they remain fairly fluid. These types of structures are thought not to be conducive to good antiperspirant activity, unless on subsequent contact with more perspiration they form a liquid crystal structure of greater than one dimensional periodicity.

However, and without wishing to be bound by theory, on the addition of more perspiration, the amphiphilic compound(s) in compositions according to the invention may pass through further physical states, and form liquid crystal structures of greater than one dimensional periodicity. These have a sufficiently rigid structure to physically block the openings of skin pores producing the perspiration, in much the same way as conventional aluminium antiperspirant astringents are thought to work, and hence prevent perspiration.

Preferred amphiphilic materials in accordance with the

invention are those which in the environment of a perspiring axilla form the most rigid liquid crystal structures (e.g. those with three-dimensional periodicity) so as to provide the most effective, physically strongest blocking of eccrine ducts.

According to a preferred embodiment of the invention, the amphiphilic antiperspirant active used in the compositions is one which physically swells as it forms the liquid crystal structure on contact with perspiration, hence enhancing the pore-blocking effect.

Conveniently, the structure of the antiperspirant active in the compositions according to the invention can be determined by standard X-ray scattering techniques, such as those described in the "Biological Membranes" reference referred to above, and which will indicate the periodicity of any structure.

Preferred antiperspirant actives for use in compositions according to the invention comprise those which form the most physically rigid liquid crystal at an ambient axilla temperature, typically 30-40°C.

Compositions according to the invention are, in preferred embodiments, free or substantially free of antiperspirant or deodorant levels of metal salts. Regarding antiperspirant metal salts, these are typically aluminium and/or zirconium salts, often present in prior art antiperspirant compositions at a concentration of around 10% by weight or more. Additionally, aluminium salts are known to have deodorant activity at a concentration of around 5% by weight or more. For further guidance regarding antiperspirant metal salts, a non-limiting list of antiperspirant metal salts is provided by the FDA in "Antiperspirant drug

products for over the counter human use, a tentative final monograph", Fed. Register 47:36592 (1982).

5 A preferred category of amphiphilic materials which form effective antiperspirant compositions according to the invention comprises lipid substances, in particular lipids, which may for example be found to occur naturally in the human skin. Some examples of lipids which form effective antiperspirant compositions according to the invention are  
10 glyceryl monooleate, optionally as a mixture with oleic acid, and a mixture of glyceryl monolaurate and oleic acid. A preferred combination of lipids is a mixture of glyceryl monolaurate and isostearyl alcohol. When the antiperspirant active in the composition according to the  
15 invention comprises a mixture of glyceryl monolaurate and either oleic acid or isostearyl alcohol, preferably the ratio of glyceryl monolaurate to oleic acid or isostearyl alcohol is from 3:2 to 4:1.

20 Further examples of preferred lipid materials which form effective antiperspirant actives in compositions according to the invention include glyceryl monolaurate in combination with either oleyl alcohol, or isostearyl alcohol. Mixtures of polyoxyethylene ethers are also suitable actives  
25 according to the invention.

Other amphiphilic substances which form effective antiperspirant actives in compositions according to the invention include surfactants, such as, for example, a  
30 mixture of sodium oleate with oleic acid or oleic alcohol, or potassium oleate with oleic acid or oleic alcohol.

Another category of amphiphilic substances which form effective antiperspirant actives for use in compositions  
35 according to the invention are emulsifiers, such as, for

example, a mixture of lecithin and oleic acid or oleic alcohol.

5 A further category of antiperspirant actives for use in compositions according to the invention are polymer amphiphilic complexes, such as for example, a mixture of Merquat 100 (poly(dimethyl diallyl ammonium chloride)), and sodium dodecyl sulphate (SDS), in an equimolar mixture of Merquat 100 monomer: SDS. The resulting mixture is capable  
10 of forming a hexagonal liquid crystal structure on contact with water.

Yet another category of antiperspirant actives for use in compositions according to the invention are block copolymer  
15 surfactants, for example sodium 10- $\alpha$ -butyl [poly (dimethylsiloxy) dimethyl silyl] decanoate.

Where the antiperspirant active used in compositions according to the invention comprises a mixture containing more than one amphiphilic substance, it is preferable that,  
20 of the amphiphilic substances in the mixture, at least one of these substances has a more strongly hydrophobic portion (i.e. the substance has a relatively low HLB value), whilst at least one of the substances has a more strongly hydrophilic portion (i.e. the substance has a relatively  
25 high HLB value).

All of the above substances or combinations of substances which are stated above as being suitable antiperspirant actives for use in compositions according to the invention may advantageously be delivered from a vehicle which  
30 comprises volatile silicone, and which contains in some embodiments described less than 25 % by weight, and in the others described less than 10 % of the composition of a short chain monohydric alcohol.

Antiperspirant compositions according to the invention which contain as their antiperspirant active amphiphilic materials, particularly lipids and especially lipids which occur in the human skin, and preferably (but not  
5 exclusively) are free or substantially free of antiperspirant or deodorant levels of metal salts, have several advantages over conventional, essentially metal-based antiperspirant compositions. First, it is possible for these materials, once applied, either to be washed away  
10 from the skin, or to be gradually metabolised by the skin, thereby to unblock the skin pore. Antiperspirant actives used in compositions according to the invention may therefore be perceived as being relatively healthy and/or mild.

15 This is in contrast to conventional antiperspirant materials, such as aluminium, which in practice are retained by the skin in the vicinity of the skin pore having hydrolysed to insoluble substances, and are only removed  
20 once the skin cells around the pore die and are shed by the body.

Certain antiperspirant actives used in compositions according to the invention, such as glyceryl monooleate, can  
25 be absorbed by the skin, and in the process of doing so they absorb water which is drawn into the skin, thereby producing a moisturising effect. Additionally, materials such as glyceryl monooleate are substantially cheaper than certain conventional antiperspirant astringents, such as activated  
30 aluminium chlorohydrate (AACH).

Compositions according to the invention also have other advantages over conventional antiperspirant compositions. For example, certain antiperspirant actives which may be  
35 used in compositions according to the invention, such as

glyceryl monolaurate, are known antimicrobial agents, thereby imparting an important additional property to the composition. Compositions according to the invention may readily have a natural pH balance on the skin, and are thereby less likely to cause skin irritation. They also have a reduced tendency to cause permanent staining to clothing.

Many antiperspirant materials used in compositions according to the invention have been found to be compatible with conventional (e.g. aluminium) antiperspirant materials, and can thus be used in mixtures with aluminium- or zirconium-based antiperspirant materials to form antiperspirant compositions. It is preferred (but not mandatory) however, that such conventional metal salt actives are not used in combination with the antiperspirant active of the invention, as this may tend to negate some of the advantages to be had from the invention as compared with the prior art.

Particularly advantageously, antiperspirant compositions according to the invention which comprise a volatile silicone and contain relatively low levels of a short chain monohydric alcohol may cause less irritation and erythema to the axillae of users of such compositions, in particular after relatively long term exposure (ie more than about two weeks) to such compositions.

The antiperspirant active used in compositions according to the invention may comprise from 5 to 95%, more preferably from about 5 to about 50%, even more preferably from about 5 to about 30%, by weight of the antiperspirant composition.

#### Other Ingredients

The antiperspirant composition according to the invention

may comprise other ingredients, depending on the nature and form of the finished product. Such additional ingredients should not however interfere with the ability of the antiperspirant active to form, in the residual composition on the skin, the required water-insoluble liquid crystal phase of greater than one-dimensional periodicity.

Examples of other ingredients which can optionally be present in a composition according to the invention include:

- non-volatile silicones, such polydimethylsiloxane, having a viscosity in excess of  $5 \text{ mm}^2\text{s}^{-1}$ , for example from 50 to  $100 \text{ mm}^2\text{s}^{-1}$ , such as DOW CORNING 200 Fluids (standard viscosities  $50\text{-}1000 \text{ mm}^2\text{s}^{-1}$ );
- deodorants, possibly including deodorant levels of metal salts,
- deoperfumes, and deodorant compounds which can also act as antimicrobial agents, such as unsaturated fatty acids; or other antimicrobial agents e.g. Irgasan DP300, ex Ciba Geigy;
- hydrophobic oils, such as liquid paraffin oils;
- inorganic electrolytes, such as sodium chloride and sodium sulphate
- cationic polymers, such as Abil Quat 3272 and Abil Quat 3270, both ex.TH Goldschmidt AG;
- thickeners, such as clays, for example Bentone 38 (trade mark), silicas, for example Aerosil 200 (trade mark), and hydroxypropyl celluloses such Klucel (trade mark) and other cellulose derivatives conventionally used for

thickening purposes;

- skin feel improvers, such as talc and finely divided polyethylene, an example of which is Acumist B18;
- gelling agents, such as stearyl alcohol or waxes, for example castor wax;
- humectants, such as polyols, for example glycerol;
- emollients;
- sunscreens;
- perfumes;
- preservatives and antioxidants;
- skin benefit agents, such as allantoin;
- colours;
- other cosmetic adjuncts conventionally employed in stick, roll-on lotion, liquid spray, cream, and propellant-driven aerosol antiperspirant products.

As mentioned above, however, it is a preferred aspect of the invention that compositions according to the invention comprise at least 60% by weight of the composition of volatile silicones.

The ingredients other than the antiperspirant active can conveniently form the balance of the composition, and accordingly may form up to about 90% by weight of the total composition, preferably from 2 to about 30%, even more

preferably from about 5 to about 30% by weight of the total composition.

#### Product Form

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The composition according to the invention can take the form of liquid or solid products, each of which is suited to, or adapted for, topical application to human skin. One convenient form of the composition according to the

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invention is a solid stick, usually contained in a suitable holder or dispenser to enable it to be applied to the area of the skin, particularly the underarm, where control of perspiration and deodorancy is required.

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Another form of the composition of the invention is a lotion suitable for inclusion in a roll-on dispenser, fitted with a ball valve, to enable the product to be rolled on to the skin in a manner which is conventional in the art. This lotion can typically be an emulsion, a suspension of solids

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in liquid, or a thickened solution.

A further example of a composition according to the invention is the liquid composition for dispensing via a finger-operated pump spray or a hand-operated squeeze spray

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to provide for delivery to the skin of a finely divided spray or aerosol, without the use of propellant gases to deliver it.

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Alternatively, a composition according to the invention can take the form of liquid, optionally containing suspended particulate solids, which is suited to, or adapted for, topical application to human skin from an aerosol container. The aerosol container can then be used to dispense the composition as a spray to enable it to be applied to the

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area of the skin, particularly the underarm, where control

of perspiration and deodorancy is required. Typically however, liquid compositions according to the invention for use in pump sprays or propellant driven aerosols may be solutions (i.e. do not contain any suspended particles).

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The composition according to the invention can also take the form of a cream, suited to, or adapted for, topical application to the human skin, e.g. by massaging or rubbing in with the fingers.

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Preferred forms of the composition according to the invention may be lotion, propellant driven aerosol, and solid stick forms.

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#### Use of the composition

The invention provides for the use of an antiperspirant composition in accordance with the invention in perspiration control, following topical application to the human skin.

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A further preferred composition according to the invention is one which comprises a surfactant which strongly interacts with the skin, thereby causing improved adhesion of the antiperspirant active to the skin. Such suitable surfactants may include, for example, cationic surfactants, alpha-hydroxy acids, alkyl lactylates and other surfactants having head groups which have a relatively strong affinity for the skin surface. Preferably, such additives may be present in the composition at a concentration of from about 0.1 to 2% by weight of the total composition.

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#### Examples

The invention will now be further described by way of example only.

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The following compositions were prepared, using standard techniques known in the art. For the formulations not containing Bentone, it was sufficient simply to mix together the components of the mixture, and make the composition to the appropriate amount with a solvent such as volatile silicone. However, for the formulations containing Bentone, it was necessary to shear the Bentone into an aliquot of the volatile silicone, at a high rate of shear (e.g. at approximately 75% of the maximum speed of an "Ultraturrax" mixer) for at least 5 minutes at a temperature of at least 45 degrees centigrade, before cooling the mixture and adding the remainder of the components of the composition.

### Compositions

The following composition represents a formulation according to the invention with improved irritation properties.

#### Composition 1

<u>Component</u>	<u>%(w/w)</u>
Isostearyl alcohol	6.25
Glycerol monolaurate	18.75
Stearyl alcohol	30.00
Irgasan	0.1
Perfume	2.0
Hexamethyl disiloxane	to 100

When tested, this stick produced a relatively low rate of physical reaction in a test panel. (1 incidence in 19 panellists.)

In contrast, the following composition (2) was tested on a panel, and produced a relatively high rate of physical reaction in the panel. (8 panellists from 20 demonstrated

some form of physical reaction to the composition.)

Composition 2

5	<u>Component</u>	<u>% (w/w)</u>
	Isostearyl alcohol	16.0
	Glycerol monolaurate	9.0
	Sodium stearate	8.0
	Water	10.0
10	Irgasan	0.1
	Perfume	2.0
	Ethanol	to 100

Composition 3

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The following composition provides a satisfactory stick composition according to the invention:

	<u>Component</u>	<u>% (w/w)</u>
20	Glyceryl monooleate	25.0
	Stearyl alcohol	29.0
	Volatile silicone (DC200, 0.65cSt)	39.9
	Talc	2.0
	Castor wax MP 70	2.0
25	Irgasan	0.1
	Perfume	2.0

When tested, this stick produced a zero rate of physical reaction in the test panel of 20 panellists.

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Composition 4

<u>Component</u>	<u>% (w/w)</u>
Glyceryl monooleate	25.0
5 Ethanol	54.0
Perfume	2.0
Sodium stearate	9.0
Water	10.0

10 In contrast, this formulation produced a relatively high rate of physical reaction in a test panel (9 incidences from 20 panellists).

Composition 5

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The following represents a preferred lotion formulation according to the invention, which is suitable for application from a roll-on container;

20	<u>Component</u>	<u>% (w/w)</u>
	Glyceryl monolaurate	18.75
	Isostearyl alcohol	6.25
	Zinc carbonate	4.0
	Bentone 38	1.5
25	Perfume	1.5
	DC 200 (0.65 cSt)	68.0

Composition 6

30 The following represents a propellant aerosol formulation according to one aspect of the invention;

<u>Component</u>	<u>% (w/w)</u>
Glyceryl monolaurate	5.53
Isostearyl alcohol	3.69
Perfume	1.09
5 DC 200 (0.65 cSt)	34.71
Ethanol	17.0
Bentone 38	2.0
Zinc Citrate	0.98
Dimethyl ether (propellant)	35.0

10 A further aspect of the invention can be appreciated from figures 1-2.

15 A feature of the compositions according to the invention is that it is possible to illustrate advantageous compositions according to the invention using phase diagrams. A phase diagram is a diagram which can be used to display the physical structure of a multicomponent mixture at a given temperature and composition. Phase diagrams may be  
20 constructed for a composition containing any number of components, and can represent all possible combinations of those components. The figures used here show phase diagrams for a three-component mixture, but phase diagrams for two, or four or more component mixtures may equally well be used  
25 to illustrate effective antiperspirant active compositions according to the invention. To accurately illustrate the antiperspirant efficacy of a given composition, the phase diagram used should take account of at least every non-volatile component of the antiperspirant composition, i.e.  
30 those components residual on the skin after the treatment.

Figure 1 shows an actual triangular phase diagram for a three-component mixture of water, oleic acid and glyceryl monooleate, at 25 degrees centigrade. Shown on this diagram  
35 are some of the physical phases that are formed at various

compositions represented by this diagram. These phases may be, for example, a liquid phase 32, in which the mixture has the physical structure of a free-flowing liquid. Some compositions represented also have a lamellar phase form 33, in which they have the consistency of a more viscous liquid. It has been found that these two physical phases, which do not have greater than 1 dimensional periodicity (a lamellar phase has 1 dimensional periodicity, whilst a liquid phase has zero order periodicity), do not form a very effective antiperspirant active.

However, it has been found that effective antiperspirant compositions will be those which, when applied, lie initially on this phase diagram towards the oleic acid-glyceryl monooleate (GMO) side of the diagram, preferably between the asterix 30 and the GMO corner of the diagram. In use, as the user perspires, the composition applied is exposed to more water, and in terms of the phase diagram this effectively moves the composition originally applied in a straight line towards the left of the diagram, i.e. towards the water corner. It can be appreciated from this diagram that, on doing this, the resultant composition will generally pass from the liquid 32 or lamellar 33 areas of the diagram, towards, for example, the hexagonal 35 or cubic 34 areas of the diagram. It is to be noted that, when the composition has reached a well defined physical phase, such as, for example, the hexagonal phase 35, on the further addition of water (a composition represented for example by the point 36 in Figure 1) the observed structure of the composition is in fact a dynamic equilibrium between the hexagonal structure of liquid crystal 35 and free water. However, most of this free water is located on the eccrine gland side of the crystal structure, and thus is retained in the gland. It is when the antiperspirant composition in equilibrium with water has a greater than 1 dimensional

periodicity, i.e. when it has the hexagonal 35 or cubic 34 structure, that the composition forms an effective antiperspirant active, and for this particular three-component mixture it has been generally found that compositions which lie below the dotted line 37 in figure 1 form effective antiperspirant active.

Figure 2 shows a similar triangular phase diagram for a three-component mixture comprising water, oleic acid and glyceryl monolaurate (GML), at 35 degrees centigrade. Again, from this diagram it can be seen that various compositions of the three components may have different structures, such as lamellar 41, an oily liquid micro-emulsion (L2) 42, cubic 43, and hexagonal 44. It has, however, been found that antiperspirant compositions which, when applied, lie generally in the region of the diagram between the two asterixes 45 and 46, and corresponding dotted lines 47 and 48, have proved to be effective antiperspirant compositions.

It is thus demonstrated how phase diagrams may provide a useful indication of which compositions involving an amphiphilic substance will prove to be effective antiperspirant compositions in accordance with the present invention.

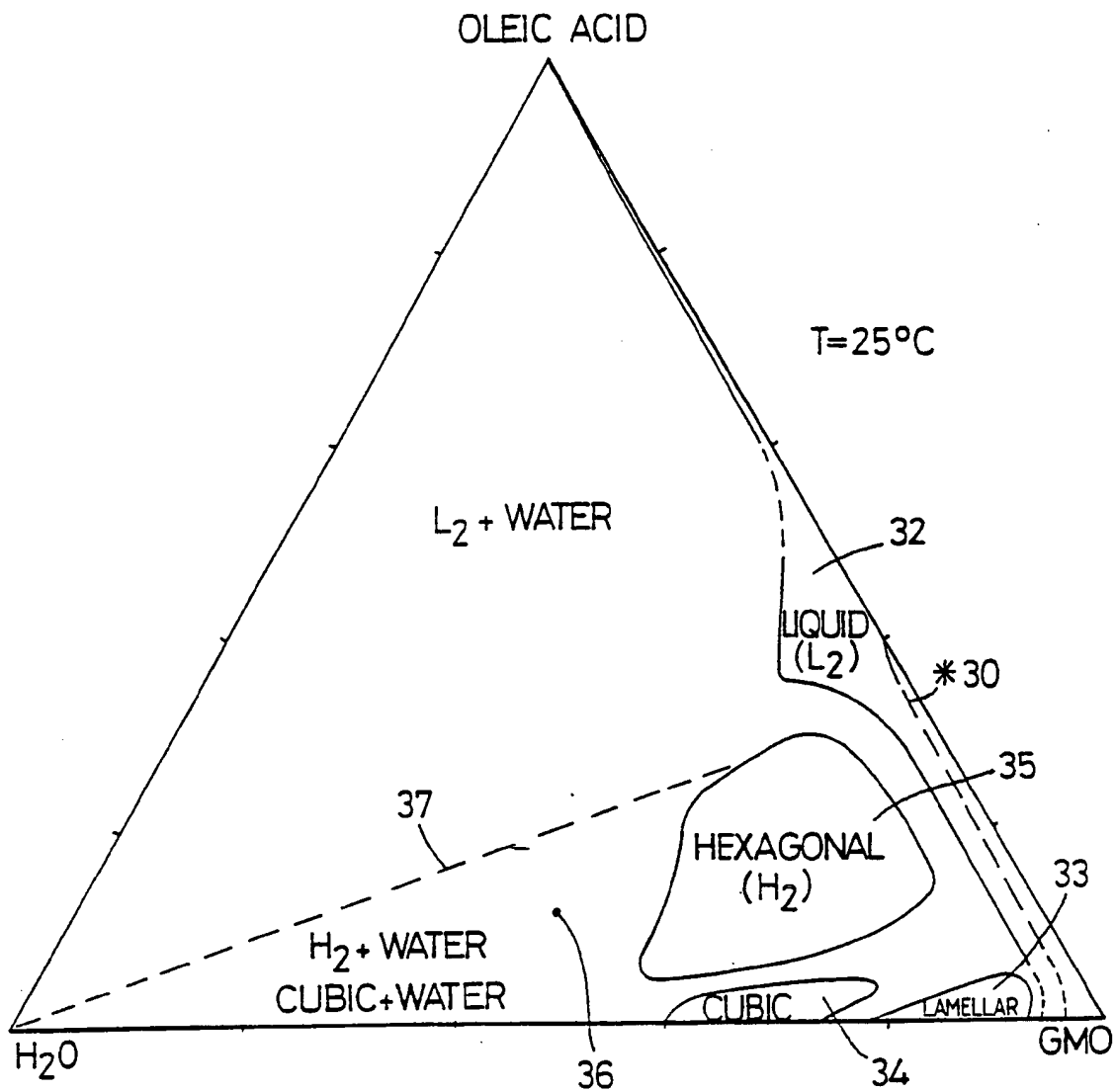
CLAIMS

1. An antiperspirant composition suitable for topical application to human skin comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a cosmetic vehicle comprising volatile silicone and containing less than 10 % by weight of the total composition of a short chain monohydric alcohol.
2. An antiperspirant composition according to claim 1, wherein the product is in the form of a lotion for dispensing from a roll-on container, a solid for use as a stick, or a cream.
3. An antiperspirant composition according to claim 1 or claim 2 wherein the antiperspirant active has a solubility in water or sweat of less than 0.1% by weight at 35°C.
4. An antiperspirant composition according to any of the preceding claims wherein the liquid crystal phase has a two-dimensional periodicity.
5. An antiperspirant composition according to any of claims 1-3, wherein the liquid crystal phase has a three-dimensional periodicity.
6. An antiperspirant composition according to any of the preceding claims, which comprises less than 5% by weight of a short chain monohydric alcohol.

7. An antiperspirant composition according to claim 6, which is substantially free of short chain monohydric alcohols.
8. An antiperspirant composition according to any of the preceding claims, which comprises from 50 to 90% by weight of a volatile silicone.
9. An antiperspirant composition according to any preceding claim, wherein the amphiphilic material is selected from the group consisting of: lipid substances; surfactants; emulsifiers; polymer amphiphilic complexes; block copolymer surfactants; and mixtures thereof.
10. An antiperspirant composition according to claim 9 wherein the amphiphilic material is a lipid substance selected from glyceryl monooleate and glyceryl monolaurate.
11. An antiperspirant composition according to claim 10, wherein the lipid substance is combined with a material selected from the group consisting of oleic acid, oleyl alcohol, isostearyl alcohol, stearyl alcohol, batyl alcohol, 1-mono-isostearyl glyceryl ether, and mixtures of any of these materials.
12. An antiperspirant composition according any preceding claim, further comprising a hydrophobic clay.
13. An antiperspirant composition according to any preceding claim, further comprising a surfactant which promotes adhesion of the antiperspirant active to the skin.

14. An antiperspirant composition according to any preceding claim, further comprising an antiperspirant active component in which is a metal salt.
15. A solution antiperspirant composition suitable for topical application to the human skin by spraying it onto the skin as a propellant driven aerosol, comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a liquid solution cosmetic vehicle comprising volatile silicone, a propellant gas, and containing less than 25 % by weight of a short chain monohydric alkanol.
16. A method of preventing or reducing perspiration at the human skin surface, comprising applying thereto an antiperspirant composition comprising an antiperspirant active which comprises at least one amphiphilic material, the antiperspirant active being one which forms, upon contact with perspiration, a water-insoluble liquid crystal phase of greater than one-dimensional periodicity, in a cosmetic vehicle comprising volatile silicone and containing less than 25% by weight of a short chain monohydric alcohol.

1 / 2



$T=25^{\circ}\text{C}$

L<sub>2</sub> + WATER

LIQUID  
(L<sub>2</sub>)

\* 30

HEXAGONAL  
(H<sub>2</sub>)

H<sub>2</sub> + WATER  
CUBIC + WATER

CUBIC

LAMELLAR

$$\text{H}_2\text{O}$$

GMO

Fig. 1

(w/w %)

32

-35

33

37

36

34

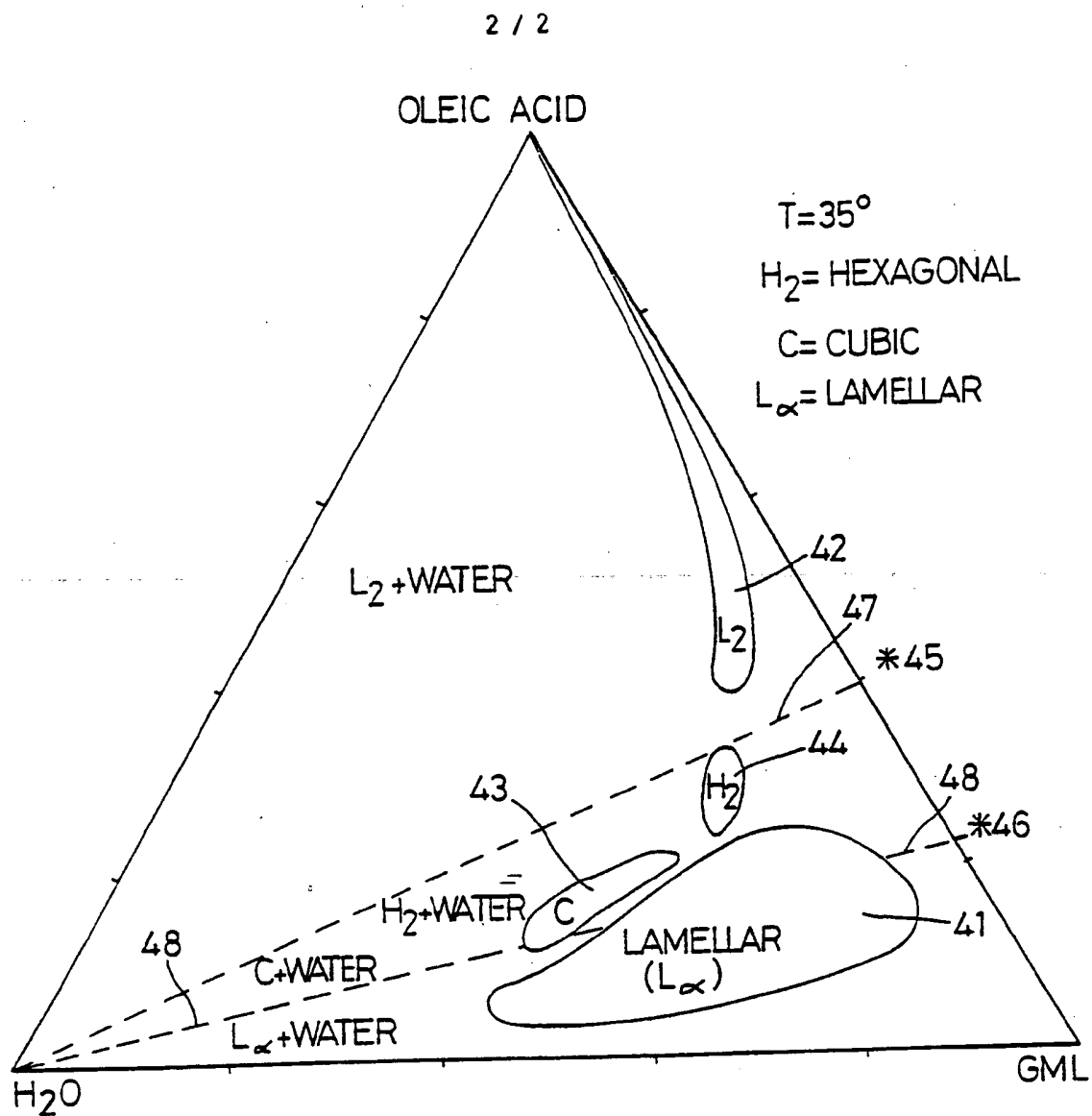


Fig. 2  
(w/w %)

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 5 A61K7/32

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 5 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A,P	EP,A,0 550 960 (UNILEVER PLC ET AL.) 14 July 1993 cited in the application see the whole document ---	1-16
A	DATABASE WPI Week 8215, Derwent Publications Ltd., London, GB; AN 82-28730E & CA,A,1 119 960 (WARNER-LAMBERT CO) 16 March 1982 see abstract ---	1-16
A	EP,A,0 373 499 (COLGATE-PALMOLIVE COMPANY) 20 June 1990 see claims 1-9 --- -/--	1-16

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

\* Special categories of cited documents :

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Date of the actual completion of the international search

4 August 1994

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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A	EP,A,0 388 111 (THE PROCTER & GAMBLE COMPANY) 19 September 1990 see the whole document	1-16

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		CA-A- 2082561	13-05-93
EP-A-0373499	20-06-90	US-A- 4944938	31-07-90
		AU-B- 634732	04-03-93
		AU-A- 4677389	21-06-90
		DE-D- 68913460	07-04-94
		DE-T- 68913460	01-06-94
		ES-T- 2051345	16-06-94
		JP-A- 2231417	13-09-90
US-A-4863721	05-09-89	NONE	
EP-A-0388111	19-09-90	US-A- 4985238	15-01-91
		CA-A- 2011566	14-09-90
		CN-A- 1045700	03-10-90
		JP-A- 2279620	15-11-90
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